

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
27 May 2004 (27.05.2004)

PCT

(10) International Publication Number
WO 2004/043926 A1

(51) International Patent Classification⁷: C07D 213/64, 213/74, 213/38, 239/42, 241/20, 261/14, 277/42, 401/12, 401/10, 403/12, 403/10, 401/04, 401/14, 405/10, A61K 31/415

(21) International Application Number:
PCT/EP2003/011976

(22) International Filing Date: 29 October 2003 (29.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
02025024.7 11 November 2002 (11.11.2002) EP
03011397.1 20 May 2003 (20.05.2003) EP

(71) Applicant (for all designated States except US): BAYER HEALTHCARE AG [DE/DE]; 51368 Leverkusen (DE).

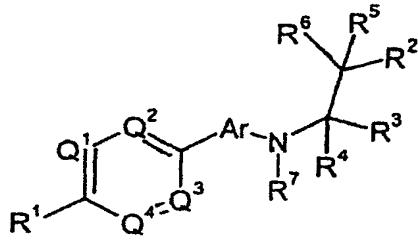
(72) Inventors; and

(75) Inventors/Applicants (for US only): MURATA, Toshiki [JP/JP]; 1-3-501, Nakano-cho, Ikoma-shi, Nara 630-0267 (JP). UMEDA, Masaomi [JP/JP]; 2-840-156, Sahodai, Nara-shi, Nara 630-6105 (JP). YOSHIKAWA, Satoru [JP/JP]; 4-10-6-303, Shibatsuji-cho, Nara-shi, Nara 630-8114 (JP). URBAHNS, Klaus [DE/JP]; 6-3-1-301, Kusugaoka-cho, Nada-ku, Kobe-shi, Hyogo 657-0024 (JP). GUPTA, Jang [IN/JP]; 5-15-803, Kouyo-cho, Naka, Higashinada-ku, Kobe-shi, Hyogo 658-0032 (JP). SAKURAI, Osamu [JP/JP]; 11-15, Koaza-Hiroshiki, Aza-Oyamazaki, Otokuni-gun, Kyoto 618-0071 (JP).

(74) Common Representative: BAYER HEALTHCARE AG; Law and Patents, Patents and Licensing, 51368 Leverkusen (DE).

(54) Title: PHENYL OR HETEROARYL AMINO ALKANE DERIVATIVES AS IP RECEPTOR ANTAGONIST

WO 2004/043926 A1



stress incontinence, bladder hyperreactivity, benign prostatic hypertrophy (BPH), prostatitis, urinary frequency, nocturia, urinary urgency, pelvic hypersensitivity, urethritis, pelvic pain syndrome, prostatodynia, cystitis, or idiopathic bladder hypersensitivity. The compounds of the present invention are also useful for treatment of pain including, but not limited to inflammatory pain, neuropathic pain, acute pain, chronic pain, dental pain, premenstrual pain, visceral pain, headaches, and the like; hypotension; hemophilia and hemorrhage; and inflammation, since the diseases also is alleviated by treatment with an IP receptor antagonist.

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(57) Abstract: The present invention relates to a phenyl or heteroaryl amino alkane derivatives which are useful as an active ingredient of pharmaceutical preparations. The phenyl or heteroaryl amino alkanes of the present invention have IP receptor antagonistic activity, and can be used for the prophylaxis and treatment of diseases associated with IP receptor antagonistic activity. Such diseases include urological diseases or disorder as follows: bladder outlet obstruction, overactive bladder, urinary incontinence, detrusor hyper-reflexia, detrusor instability, reduced bladder capacity, frequency of micturition, urge incontinence,